

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic
substances identified in English-, French-, German-,
and Japanese-language basic patents from 2004-present
NEWS 3 NOV 26 MARPAT enhanced with FSORT command
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy
NEWS 5 NOV 26 Two new SET commands increase convenience of STN
searching
NEWS 6 DEC 01 ChemPort single article sales feature unavailable
NEWS 7 DEC 12 GBFULL now offers single source for full-text
coverage of complete UK patent families
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 9 JAN 06 The retention policy for unread STNmail messages
will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
Classification Data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS IPC8 For general information regarding STN implementation of IPC 8

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:15:37 ON 21 JAN 2009

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 0.22 0.22

FILE 'REGISTRY' ENTERED AT 17:15:52 ON 21 JAN 2009
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STRUCTURE FILE UPDATES: 20 JAN 2009 HIGHEST RN 1094597-78-0
DICTIONARY FILE UPDATES: 20 JAN 2009 HIGHEST RN 1094597-78-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

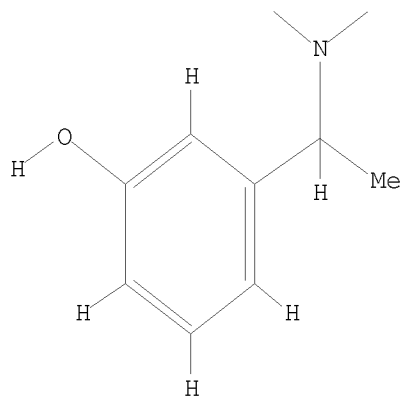
Uploading C:\Program Files\Stnexp\Queries\10523927.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 17:16:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 10280 TO ITERATE

100.0% PROCESSED 10280 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

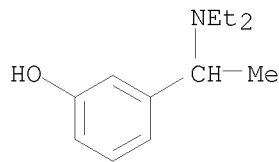
L2 16 SEA SSS FUL L1

=> d l2 scan

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Phenol, 3-[1-(diethylamino)ethyl]-

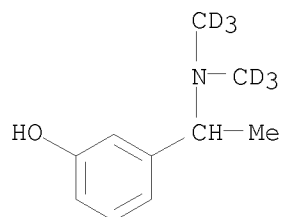
MF C12 H19 N O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

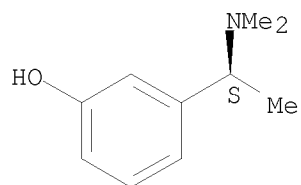
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Phenol, 3-[1-[di(methyl-d3)amino]ethyl]- (9CI)
MF C10 H9 D6 N O



L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, sodium salt (1:1)
MF C10 H15 N O . Na

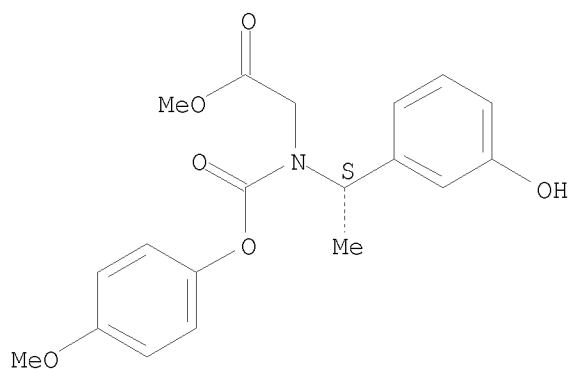
Absolute stereochemistry. Rotation (-).



● Na

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Glycine, N-[(1S)-1-(3-hydroxyphenyl)ethyl]-N-[(4-methoxyphenoxy)carbonyl]-, methyl ester
MF C19 H21 N O6

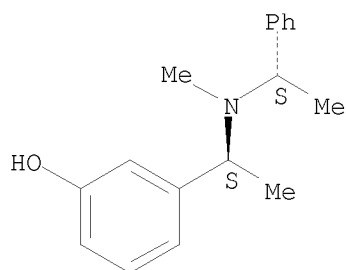
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

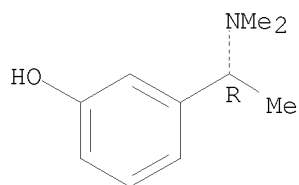
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Phenol, 3-[(1S)-1-[methyl[(1S)-1-phenylethyl]amino]ethyl]-
MF C17 H21 N O

Absolute stereochemistry. Rotation (-).



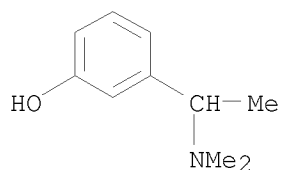
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Phenol, 3-[(1R)-1-(dimethylamino)ethyl]-
MF C10 H15 N O

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

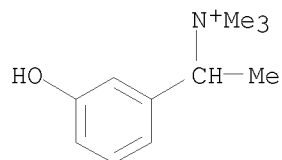
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Phenol, 3-[1-(dimethylamino)ethyl]-, hydrochloride (1:1)
MF C10 H15 N O . Cl H



● HCl

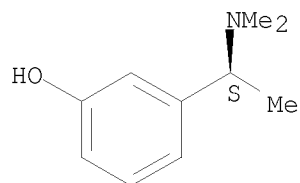
L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzenemethanaminium, 3-hydroxy-N,N,N, α -tetramethyl-
 MF C11 H18 N O
 CI COM



L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-
 MF C10 H15 N O
 CI COM

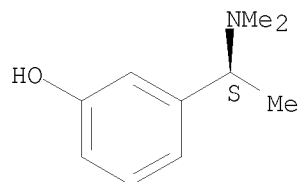
Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1)
 MF C10 H15 N O . Cl H

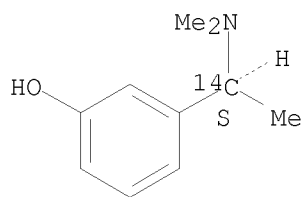
Absolute stereochemistry. Rotation (-).



● HCl

L2 16 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[1-(dimethylamino)ethyl-1-¹⁴C]-, (S)- (9CI)
 MF C10 H15 N O

Absolute stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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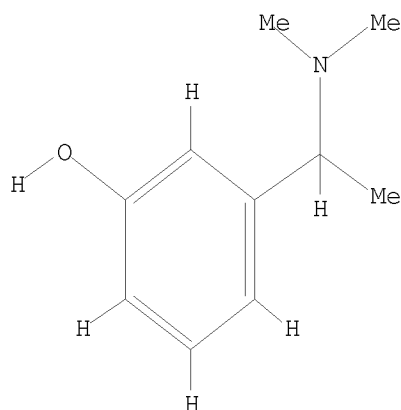
Uploading C:\Program Files\Stnexp\Queries\10523927-2.str

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 13 full

FULL SEARCH INITIATED 17:17:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 10293 TO ITERATE

100.0% PROCESSED 10293 ITERATIONS

11 ANSWERS

SEARCH TIME: 00.00.01

L4 11 SEA SSS FUL L3

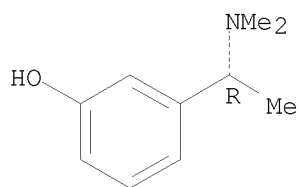
=> d 14 scan

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Phenol, 3-[(1R)-1-(dimethylamino)ethyl]-

MF C10 H15 N O

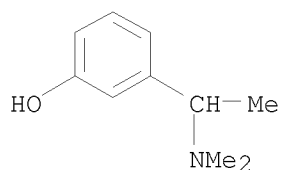
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

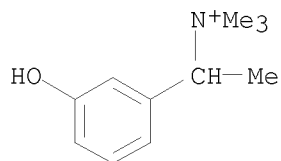
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[1-(dimethylamino)ethyl]-
 MF C10 H15 N O
 CI COM



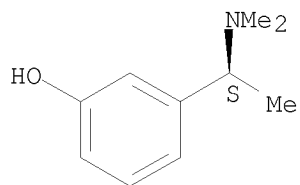
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Benzenemethanaminium, 3-hydroxy-N,N,N, α -tetramethyl-, iodide (1:1)
 MF C11 H18 N O . I



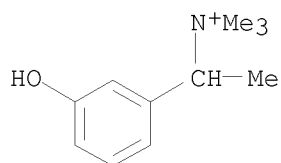
L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-
 MF C10 H15 N O
 CI COM

Absolute stereochemistry. Rotation (-).

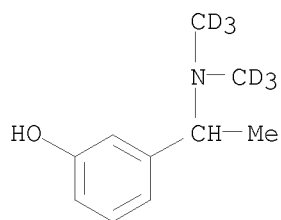


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Benzenemethanaminium, 3-hydroxy-N,N,N, α -tetramethyl-
 MF C11 H18 N O
 CI COM

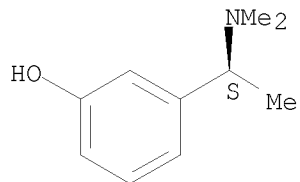


L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[1-[di(methyl-d3)amino]ethyl]- (9CI)
 MF C10 H9 D6 N O



L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1)
 MF C10 H15 N O . Cl H

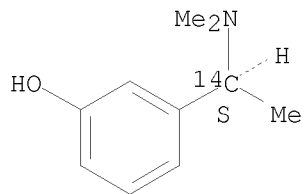
Absolute stereochemistry. Rotation (-).



● HCl

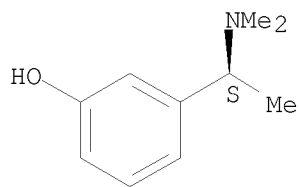
L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[1-(dimethylamino)ethyl-1-14C]-, (S)- (9CI)
 MF C10 H15 N O

Absolute stereochemistry.



L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, sodium salt (1:1)
 MF C10 H15 N O . Na

Absolute stereochemistry. Rotation (-).

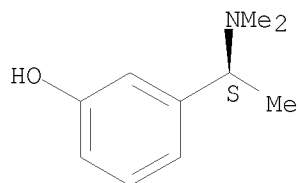


● Na

L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-,
 (1S,4R)-, compd. with 3-[(1S)-1-(dimethylamino)ethyl]phenol (1:1)
 MF C10 H16 O4 S . C10 H15 N O

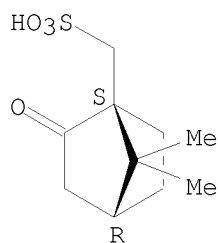
CM 1

Absolute stereochemistry. Rotation (-).

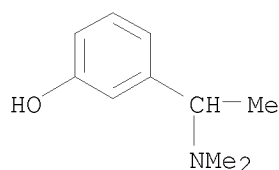


CM 2

Absolute stereochemistry. Rotation (+).



L4 11 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Phenol, 3-[1-(dimethylamino)ethyl]-, hydrochloride (1:1)
 MF C10 H15 N O . Cl H



● HCl

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus
 COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
372.72	372.94

FULL ESTIMATED COST

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FILE COVERS 1907 - 21 Jan 2009 VOL 150 ISS 4
 FILE LAST UPDATED: 20 Jan 2009 (20090120/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 14

L5 59 L4

=> s 14 and resolution

59 L4

108684 RESOLUTION

L6 7 L4 AND RESOLUTION

=> s 14 and optical?

59 L4

1146193 OPTICAL?

L7 5 L4 AND OPTICAL?

=> s 17 or 16

L8 11 L7 OR L6

=> d 18 ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 11 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1250055 CAPLUS

DOCUMENT NUMBER: 149:471196

TITLE: A process for the preparation of rivastigmine or a salt thereof

INVENTOR(S): Mandava, Venkata Naga Brahmeswara Rao; Vajrала, Venkata Reddy; Varanasi, Ganesh; Adla, Vijay Kumar; Jambula, Mukund Reddy; Kanumathi Reddy, Vijaypal Reddy

PATENT ASSIGNEE(S): Dr. Reddy's Laboratories Ltd., India; Dr. Reddys Laboratories Inc.

SOURCE: Eur. Pat. Appl., 16pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1980552	A2	20081015	EP 2008-7105	20080410
EP 1980552	A3	20081029		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS				
IN 2007CH00758	A	20081128	IN 2007-CH758	20070410
US 20080255383	A1	20081016	US 2008-100591	20080410
PRIORITY APPLN. INFO.:			IN 2007-CH758	A 20070410
			US 2008-30814	A 20080222
			US 2008-30814P	P 20080222

OTHER SOURCE(S): CASREACT 149:471196

AB The process comprises reacting S-(-)-[1-(3-hydroxyphenyl)ethyl]dimethylamine (I) with N-ethyl-N-methylcarbamoyl chloride (II) in the presence of an organic base to obtain a free base of rivastigmine. Rivastigmine tartrate is a known drug. Thus, reaction of I with II in Me iso-Bu ketone in the presence of pyridine and tetrabutylammonium bromide gave, after workup, rivastigmine (free base).

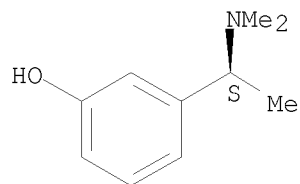
IT 139306-10-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of rivastigmine or salt thereof)

RN 139306-10-8 CAPLUS

CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:396551 CAPLUS

DOCUMENT NUMBER: 148:387367

TITLE: Improved process for the industrial preparation of Rivastigmine hydrogen tartrate and its pharmaceutical polymorphs

INVENTOR(S): Nadkarni, Sunil Sadanand

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India

SOURCE: Indian Pat. Appl., 50pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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IN 2005MU00036	A	20060811	IN 2005-MU36	20050114
PRIORITY APPLN. INFO.:			IN 2005-MU36	20050114

OTHER SOURCE(S): CASREACT 148:387367

AB A process for the preparation of rivastigmine hydrogen tartarate starting from α -3-hydroxyphenylethyldimethylamine is described. The present invention is simple, efficient, environmentally safe and a cost effective methodol. for the production of rivastigmine and its pharmaceutical polymorphs. Further, this invention also relates to the solid-state phys. properties of rivastigmine hydrogen tartrate. These properties can be influenced by controlling the conditions under which rivastigmine hydrogen tartrate is obtained in solid form. One example of solid-state phys. properties is the flowability of the milled solid. Flowability affects the ease with which the material is handled during processing into a pharmaceutical product.

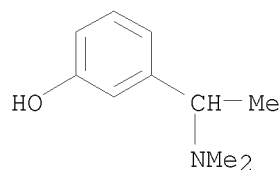
IT 5441-61-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(improved process for the industrial preparation of rivastigmine hydrogen tartrate and its pharmaceutical polymorphs)

RN 5441-61-2 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



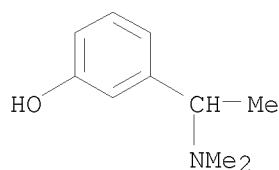
L8 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:313129 CAPLUS
DOCUMENT NUMBER: 148:191703
TITLE: Synthesis of S-(+)-rivastigmine hydrogen tartrate
AUTHOR(S): Feng, Jin; Chen, Wei-min; Sun, Ping-hua
CORPORATE SOURCE: Dep. Med. Chem., Sch. Pharmacy, Jinan Univ.,
Guangzhou, 510632, Peop. Rep. China
SOURCE: Nanfang Yike Daxue Xuebao (2007), 27(2), 177-180
CODEN: NYDXAN; ISSN: 1673-4254
PUBLISHER: Nanfang Yike Daxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 148:191703

AB The synthesis of the title compound, S-(+)-rivastigmine hydrogen tartrate [i.e., N-ethyl-N-(methyl)carbamic acid 3-[(1S)-1-(dimethylamino)ethyl]phenyl ester, (2R,3R)-2,3-dihydroxybutanedioate] which was known as an agent for the treatment of Alzheimer disease, is reported. S-(+)-rivastigmine hydrogen tartrate was synthesized by using 1-(3-hydroxyphenyl)ethanone as the starting material via oximation, reduction and N-methylation to produce a key intermediate 3-[1-(dimethylamino)ethyl]phenol, which was treated with N-ethyl-N-(methyl)carbonyl chloride. The enantiomers were resolved with di(+)-p-toluoyl-D-tartaric acid, and the title compound was prepared by mixing S-rivastigmine base with L-(+)-tartrate. The total yield of S-(+)-rivastigmine hydrogen tartrate was 4.17%. The materials in this procedure are all com. available. The reaction conditions are mild and total yield is high.

IT 105601-04-5P, 3-[1-(Dimethylamino)ethyl]phenol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral rivastigmine hydrogen tartrate via synthetic sequence involving oximation, reduction, methylation, carbamoylation and resolution)

RN 105601-04-5 CAPLUS
CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



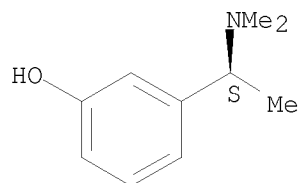
L8 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:257897 CAPLUS
DOCUMENT NUMBER: 146:295621
TITLE: Process for preparation of rivastigmine and tartrate
INVENTOR(S): Ma, Dawei; Pan, Qiangbiao; Pan, Song
PATENT ASSIGNEE(S): Shanghai Aobo Bio-Pharmaceutical Technology Co., Ltd,
Peop. Rep. China; Shanghai Institute of Organic
Chemistry, Chinese Academy of Sciences; Zhejiang
Huahai Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 27pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 WO 2007025481 A1 20070308 WO 2006-CN2246 20060901
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
 MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,
 RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 CN 1923801 A 20070307 CN 2005-10029393 20050902
 CN 100391938 C 20080604
 PRIORITY APPLN. INFO.: CN 2005-10029393 A 20050902
 OTHER SOURCE(S): CASREACT 146:295621; MARPAT 146:295621
 AB The present invention relates to a process for preparing
 N-ethyl-N-methyl-3-[(1S)-1-(dimethylamino)ethyl]phenyl carbamate
 (rivastigmine) and its tartrate, which comprises reacting
 3-[(1S)-1-(dimethylamino)ethyl]phenol or salts with phosgene, diphosgene
 or triphosgene, followed by the addition of N-methylethanamine to give
 rivastigmine. The tartrate was obtained by reacting rivastigmine with
 L-(+)-tartaric acid. The process has the advantages of high yield and
 optical purity.
 IT 894079-56-2 928216-23-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of rivastigmine and tartrate)
 RN 894079-56-2 CAPLUS
 CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX
 NAME)

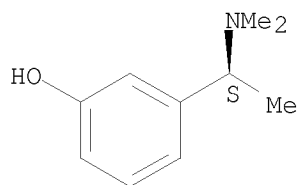
Absolute stereochemistry. Rotation (-).



● HCl

RN 928216-23-3 CAPLUS
 CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]-, sodium salt (1:1) (CA INDEX
 NAME)

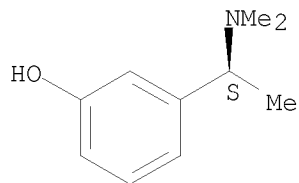
Absolute stereochemistry. Rotation (-).



● Na

IT 139306-10-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of rivastigmine and tartrate)
 RN 139306-10-8 CAPLUS
 CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:436761 CAPLUS
 DOCUMENT NUMBER: 144:450512
 TITLE: Carbamoylation method for preparation of rivastigmine
 [(S)-3-[(1-dimethylamino)ethyl]phenyl
 N-ethyl-N-methylcarbamate]
 INVENTOR(S): Gharpure, Milind Moreshwar; Bhawal, Baburao Manikrao;
 Shah, Viral Bipinbhai; Zope, Umesh Rewaji; Mehta,
 Satish Ramanlal
 PATENT ASSIGNEE(S): Emcure Pharmaceuticals Limited, India
 SOURCE: PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006048720	A1	20060511	WO 2005-IB3237	20051029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 IN 2004MU01207 A 20071214 IN 2004-MU1207 20041108
 EP 1856036 A1 20071121 EP 2005-797945 20051029
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 BR 2005015767 A 20080304 BR 2005-15767 20051029
 JP 2008519023 T 20080605 JP 2007-539647 20051029
 KR 2007083814 A 20070824 KR 2007-709495 20070426
 PRIORITY APPLN. INFO.: IN 2004-MU1207 A 20041108
 WO 2005-IB3237 W 20051029

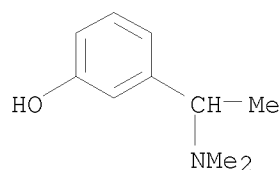
OTHER SOURCE(S): CASREACT 144:450512

AB Rivastigmine is prepared in high yield and selectivity by the carbamoylation of (S)-3-[1-(dimethylamino)ethyl]phenol with N-ethyl-N-methylcarbamoyl chloride.

IT 105601-04-5 139306-10-8,
 (S)-3-[1-(Dimethylamino)ethyl]phenol
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (in a carbamoylation method for preparation of rivastigmine
 [(S)-3-[(1-dimethylamino)ethyl]phenyl N-ethyl-N-methylcarbamate])

RN 105601-04-5 CAPLUS

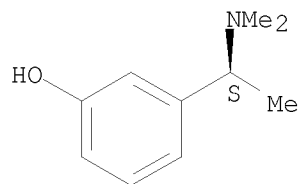
CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



RN 139306-10-8 CAPLUS

CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:972013 CAPLUS

DOCUMENT NUMBER: 140:27668

TITLE: A process for the preparation of phenyl carbamates

INVENTOR(S): Thennati, Rajamannar

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India; Patel, Hetalkumar Virendrabhai

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

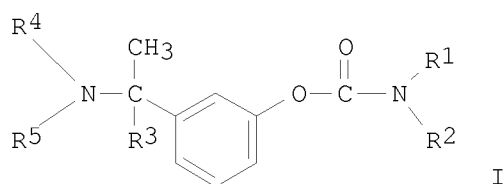
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003101917	A2	20031211	WO 2003-IN210	20030602
WO 2003101917	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MU00484	A	20040228	IN 2002-MU484	20020531
IN 2003MU00166	A	20050204	IN 2003-MU166	20030206
AU 2003263574	A1	20031219	AU 2003-263574	20030602
US 20060293518	A1	20061228	US 2006-516104	20060807
US 7385076	B2	20080610		

PRIORITY APPLN. INFO.:

IN 2002-MU484	A	20020531
IN 2003-MU166	A	20030206
WO 2003-IN210	W	20030602

OTHER SOURCE(S): CASREACT 140:27668; MARPAT 140:27668
GI



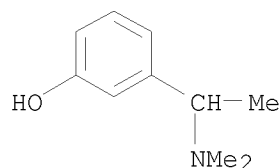
AB Phenylcarbamates [I; R1 = H, (un)branched lower (cyclo)alkyl, cyclohexyl, allyl, propargyl, benzyl; R2 = H, Me, Et, propyl; NR1R2 = three-to-eight-membered ring with or without a hetero atom like nitrogen or oxygen; R3 = H, lower alkyl; R4, R5 = lower alkyl; e.g., racemic rivastigmine] are prepared in high yield and selectivity by the reaction of the corresponding I phenol [e.g., 3-[(1-dimethylamino)ethyl]phenol] with a 4-nitrophenylcarbamate 4-O2NC6H4O2CN(R1)R2 (e.g., 4-nitrophenyl N-ethyl-N-methylcarbamate) in the presence of a base (e.g., potassium carbonate).

IT 105601-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in a process for the preparation of Ph carbamates)

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

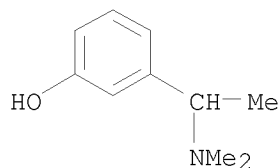
L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:429602 CAPLUS
 DOCUMENT NUMBER: 136:183572
 TITLE: Synthesis of Rivastigmine, a chiral drug for Alzheimer's Disease
 AUTHOR(S): Jiang, Yong-wen; Hua, Zheng-mao; Xie, Li-hua; Yang, Li-ping
 CORPORATE SOURCE: Department of Chemistry, East China Normal University, Shanghai, 200062, Peop. Rep. China
 SOURCE: Huadong Shifan Daxue Xuebao, Ziran Kexueban (2001), (1), 61-65
 CODEN: HSZKEO; ISSN: 1000-5641
 PUBLISHER: Huadong Shifan Daxue Chubanshe
 DOCUMENT TYPE: Journal
 LANGUAGE: Chinese
 OTHER SOURCE(S): CASREACT 136:183572

AB Rivastigmine, a sort of acetylcholinesterase inhibitor, used in the symptomatic treatment of mild to moderate Alzheimer's Disease, was synthesized from m-hydroxyphenyl Me ketone via three steps, giving racemic product, further chemical resolution with D-tartaric acid derivative, giving the S-product..

IT 105601-04-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of Rivastigmine, a chiral drug for Alzheimer's Disease)

RN 105601-04-5 CAPLUS
 CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



L8 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:128255 CAPLUS
 DOCUMENT NUMBER: 116:128255
 ORIGINAL REFERENCE NO.: 116:21695a,21698a
 TITLE: A general enantioselective synthesis of α -arylethylamines
 AUTHOR(S): Chen, Chung Pin; Prasad, Kapa; Repic, Oljan
 CORPORATE SOURCE: Sandoz Res. Inst., East Hanover, NJ, 07936, USA
 SOURCE: Tetrahedron Letters (1991), 32(49), 7175-8
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:128255

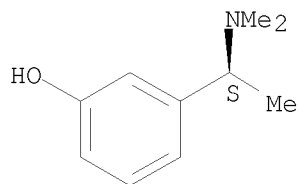
AB Optically active α -arylethylamines were prepared starting from acetophenones in $\geq 95\%$ ee and $\geq 70\%$ overall yield using oxazaborolidine catalyzed enantioselective reduction followed by the displacement of the hydroxy group by an azide group with clean inversion under Mitsunobu reaction conditions.

IT 139306-10-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 139306-10-8 CAPLUS

CN Phenol, 3-[(1S)-1-(dimethylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L8 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1987:188457 CAPLUS

DOCUMENT NUMBER: 106:188457

ORIGINAL REFERENCE NO.: 106:30389a,30392a

TITLE: Pharmacological activity of novel anticholinesterase agents of potential use in the treatment of Alzheimer's disease

AUTHOR(S): Weinstock, Marta; Razin, Michal; Chorev, Michael; Tashma, Zeev

CORPORATE SOURCE: Sch. Pharm., Hebrew Univ., Jerusalem, Israel

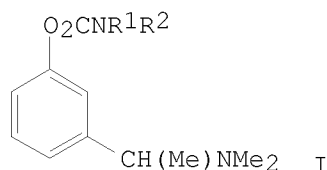
SOURCE: Advances in Behavioral Biology (1986), 29(Alzheimer's Parkinson's Dis.), 539-49

CODEN: ADBBBW; ISSN: 0099-6246

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



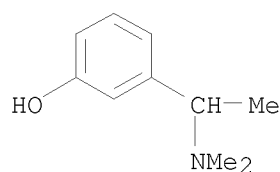
AB Eight miotine derivs. I (R1 = H, Me or Et, R2 = alkyl) were prepared by reacting α -m-hydroxyphenylethyldimethylamine [105601-04-5] with alkyl isocyanates or dialkylaminocarbamyl chlorides. Their ability to inhibit brain acetylcholinesterase (AChE) [9000-81-1] varied 3000-fold and was not related to either the hydrophobicity, molar refractivity, or length of the most extended conformation of the carbamate moiety. Furthermore, no clear correlation could be demonstrated between the anticholinesterase activity in vitro and that obtained ex vivo after injection of the drug into mice. All the novel compds. were relatively more active in vivo in relation to physostigmine or miotine than they were in vitro. Comparison of the acute toxicity of I with that of physostigmine and miotine showed the former to have a higher therapeutic ratio. The I derivs. tested had different anticholinesterase activities in different brain areas; the cerebral cortex enzyme was the most sensitive and the medulla oblongata enzyme was the least sensitive. The use of these compds. for treatment of chronic conditions such as Alzheimer's disease is discussed.

IT 105601-04-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with alkyl isocyanates and dialkylaminocarbamyl chlorides)

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1933:563 CAPLUS

DOCUMENT NUMBER: 27:563

ORIGINAL REFERENCE NO.: 27:67g-i

TITLE: Resolution of
 α -m-hydroxyphenylethylmethylamine and the
preparation of d- and l-miotine (methylurethans of d-
and l- α -m-hydroxyphenylethyldimethylamine)

AUTHOR(S): Macdonald, Joseph McL.; Stedman, Edgar

SOURCE: Journal of the Chemical Society (1932) 2513-19

CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. Stedman and Stedman, C. A. 23, 3451. In a reinvestigation of the resolution of miotine (I), it was found that in no case could a crystalline salt be prepared m-MeOC6H4CHMeNMe2 also could not be resolved. Attention was then directed to m-HOC6H4CHMeNHMe. m-MeOC6H4CHMeBr and MeNH2 in MeCN give 75% of α -m-methoxyphenylethylmethylamine, b15 117-8°, whose HCl salt m. 152-3°; in EtOH the yield is 63%; the chloride in EtOH gives 54% of the base. HBr gives dl- α -m-hydroxyphenylethylmethylamine (II), m. 160°; HCl salt, m. 160°. While crystalline H d-tartrate and H l-malate salts could be prepared, they were not suitable for resolution. d-Bromocamphor- π -sulfonic acid (III) gave satisfactory results; the III salt of d-II, m. about 193°, crystallizing with 1.5 mols. H2O, resulted from the HCl salt of II and the NH4 salt of III in 79% yield and could be crystallized from H2O; the anhydrous salt from AcOEt m. 197°; the residue from the mother liquor was converted into the HCl salt and treated with l-III, giving the l-III salt of l-II. d-II, m. 171°, [α]D 68° (C5H5N, c 5); HCl salt, m. 201°, [α]D 20° (H2O, c 10); the l-II possessed similar properties. d-II in MeOH and formalin, treated with H2 (PtO2 catalyst), gave d- α -m-hydroxyphenylethyldimethylamine, m. 116°, [α]D 55.8° (EtOH, c 5); HCl salt, m. 161°, [α]D 15.2° (H2O, c 10); the l-isomer was similar. These bases with MeNCO give d- and l-I, m. 85°, [α]D \pm 37° (EtOH, c 10); the HCl salts m. 167° (decomposition), [α]D \pm 10.6° (H2O, c 10).

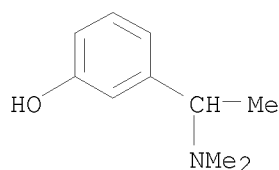
IT 105601-04-5P

RL: SPN (Synthetic preparation); PRP (Properties); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

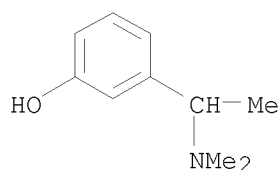
(Resolution of α -m-hydroxyphenylethylmethylamine and the preparation of d- and l-miotine (methylurethans of d- and l- α -m-hydroxyphenylethyldimethylamine))

RN 105601-04-5 CAPLUS

CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



IT 5441-61-2P, Phenol, m-(α -dimethylaminoethyl)-, -HCl
 RL: PREP (Preparation)
 (preparation of)
 RN 5441-61-2 CAPLUS
 CN Phenol, 3-[1-(dimethylamino)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1929:29266 CAPLUS

DOCUMENT NUMBER: 23:29266

ORIGINAL REFERENCE NO.: 23:3451e-i, 3452a-c

TITLE: Methylurethans of the isomeric
 α -hydroxyphenylethyldimethylamines and their
 Miotic activity

AUTHOR(S): Stedman, Edgar; Stedman, Ellen

SOURCE: Journal of the Chemical Society (1929) 609-17

CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

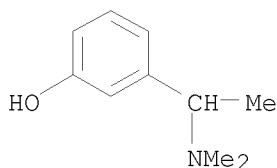
LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 23:29266

AB The substances heretofore examined include 2 series of isomeric methylurethans, viz., the dimethylaminophenyl esters of methylcarbamic acid. $\text{HNMeCO}_2\text{C}_6\text{H}_4\text{NMe}_2$, and the methylurethans of the hydroxybenzyl dimethylamines, $\text{NHMeCO}_2\text{C}_6\text{H}_4\text{CH}_2\text{NMe}_2$. None of the compds. of these series contains an asym. C, and in view of the known difference in activity of the enantiomorphs of certain physiol. active substances, expts. have been carried out with the object of introducing such asymmetry into the latter of the above series of isomerides. For this purpose, the methylurethans of the isomeric α -hydroxyphenylethyldimethylamines have been prepared, this particular series being chosen because the introduction of an asym. C is effected with the min. structural alterations necessary for this purpose. Unfortunately, these urethans have not yet been obtained in optically active forms. In the meantime, the results obtained with the dl-bases are here recorded. The 3 isomeric urethans were prepared by conversion of the methoxybenzaldehydes into the methoxyphenylmethylcarbinols via Grignard, followed by treatment with HBr; the corresponding bromides thereupon react with Me_2NH to give the α -methoxyphenylethyldimethylamines, which on demethylation by HBr yielded the phenols and finally the methylurethans, $\text{NHMeCO}_2\text{C}_6\text{H}_4\text{CHMeNMe}_2$, by interaction with MeNCO under appropriate conditions. The following compds. are described:
 m-methoxyphenylmethylcarbinol, b_{14.5} 133°;

α -m-methoxy-methoxyphenylethyldimethylamine. b17 118-9° (HCl salt, m. 105°; methiodide, C12H20ONI, pale cream, m. 142°); α -m-hydroxyphenylethyldimethylamine, m. 87-8° (HCl salt, C10H15ON.HCl, m. 197-8°; methiodide, micro-crystalline, m. 160°); α -o-methoxyphenylethyldimethylamine, b12 105-7°, b13.5 103.5° (HCl salt, an oil; methiodide, C14H10ONI, m. 136-7°); α -O-hydroxyphenylethyldimethylamine, b14 112-4° (HCl salt, C10H17ON.HCl, m. 136-7°); α -p-methoxyphenylethyldimethylamine, b14 118° (HCl salt, C11H17ON.HCl, m. 213°; methiodide, m. 128-30°); di[α -p-methoxyphenylethyl]dimethylammonium bromide, C20H26O2NBr.H2O, thick plates, m. 109°; α -p-hydroxyphenylethyldimethylamine, m. about 115° (HBr salt, m. 178°; HCl salt, C10H15ON.HCl, m. 183°). Methylurethan of α -m-hydroxyphenylethyldimethylamine, C12H14O2N2, m. 86° (HCl salt, m. 160° (effervescence); methiodide, C13H21O2N2I, m. 130° (effervescence)). Methylurethan of α -o-hydroxyphenylethyldimethylamine, m. 90° (HCl salt (I) extremely hygroscopic; methiodide, C13H21O2N2I, m. 148° (effervescence)). Methylurethan of α -p-hydroxyphenylethyldimethylamine, unknown in free state (HCl salt, C12H18O2N2.HCl, m. 203°; methiodide (II), m. 173° (effervescence)). The 3 urethans have been tested for miotic activity in the form both of their HCl salts and methiodides by instillation into cats' eyes, 1.5% solns. of these substances in physiol, salt solns. being employed. In case of (I) the free urethan was dissolved in the calculated amount of 0.153 N HCl and diluted to 1.5% with physiol. salt solution With the exception of (II), which had no action at this dilution, a contraction of the pupil resulted in each case, the extent of the miosis differing with the various substances employed. The estimated order of activity is: m-HCl > o-MeI > p-HCl, o-HCl, m-MeI > p-Mel. This order can of course only be regarded as provisional until the activities on other organs have been compared. It should, however, be noted that the observed activities of p-HCl, o-HCl, m-Mel were quite small in the dilns. used, the m-HCl and o-Mel being very much greater. The miotic activity of m-HCl was intense and persistent, probably approaching that of physostigmine.

IT 105601-04-5, Phenol, m-(α -dimethylaminoethyl)-
 (and derivs.)
 RN 105601-04-5 CAPLUS
 CN Phenol, 3-[1-(dimethylamino)ethyl]- (CA INDEX NAME)



IT 860737-04-8P, Ammonium, (m-hydroxy- α -methylbenzyl)trimethyl-,
 iodide
 RL: PREP (Preparation)
 (preparation of)
 RN 860737-04-8 CAPLUS
 CN Benzenemethanaminium, 3-hydroxy-N,N,N, α -tetramethyl-, iodide (1:1)
 (CA INDEX NAME)

